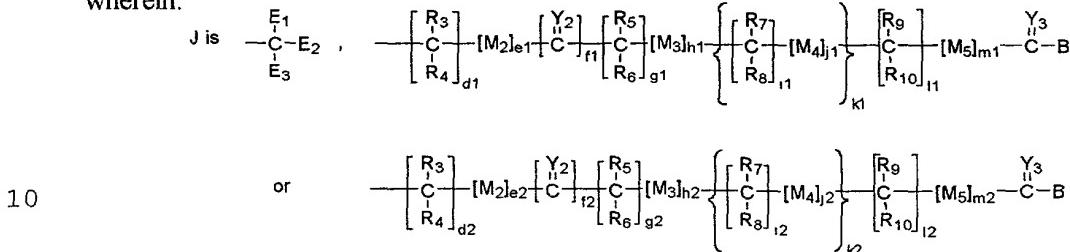


ABSTRACT

The present invention is directed to polymeric-prodrug transport forms of the formula:



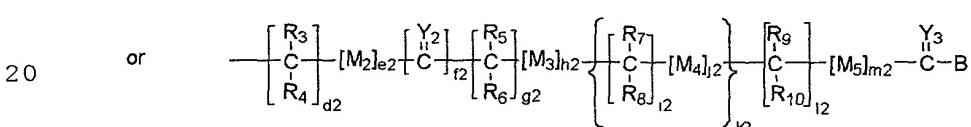
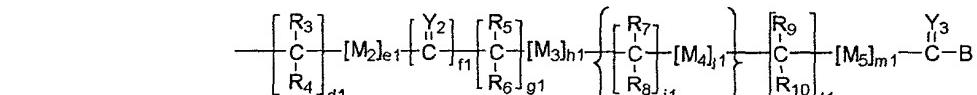
wherein:



15 substituted C₁₋₆ heteroalkyls, C₁₋₆ alkoxy, phenoxy, C₁₋₆ heteroalkoxy

$$[B_3] = [Y_2] \cdot [B_5] = \left(-B_{22} \right) \cdot [B_5]$$

$$\text{---} \overset{\circ}{\text{C}} \text{---} [\text{M}_2]_{e1} \text{---} \overset{\circ}{\text{C}} \text{---} f_1 \text{---} \overset{\circ}{\text{C}} \text{---} [\text{M}_3]_{h1} \text{---} \left\{ \begin{array}{c} \text{---} \overset{\circ}{\text{C}} \text{---} [\text{M}_4]_{j1} \\ \text{---} \overset{\circ}{\text{C}} \text{---} [\text{M}_5]_{m1} \end{array} \right\} \text{---} \overset{\circ}{\text{C}} \text{---} \text{B}$$



and at least one of E_{1-4} includes a B moiety;

B is a leaving group, OH, a residue of a hydroxyl-containing moiety, a residue of an amine-containing moiety or E^-

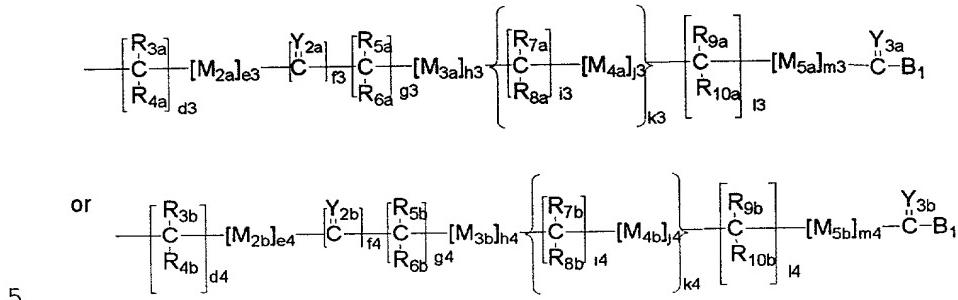


wherein E_5 is independently selected from the same group which defines

E₁₋₄,

$$J_1 \text{ is } -\frac{E_{1a}}{C} - \frac{E_{2a}}{E_{3a}},$$

E_{1a-3a} are independently selected from the group consisting of hydrogen, C₁₋₆ alkyls, C₃₋₁₂ branched alkyls, C₃₋₈ cycloalkyls, C₁₋₆ substituted alkyls, C₃₋₈ substituted cycloalkyls, aryls, substituted aryls, aralkyls, C₁₋₆ heteroalkyls, substituted C₁₋₆ heteroalkyls, C₁₋₆ alkoxy, phenoxy, C₁₋₆ heteroalkoxy,

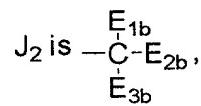


wherein B₁ is a leaving group, OH, a residue of a hydroxyl-containing moiety or a residue of an amine-containing moiety or

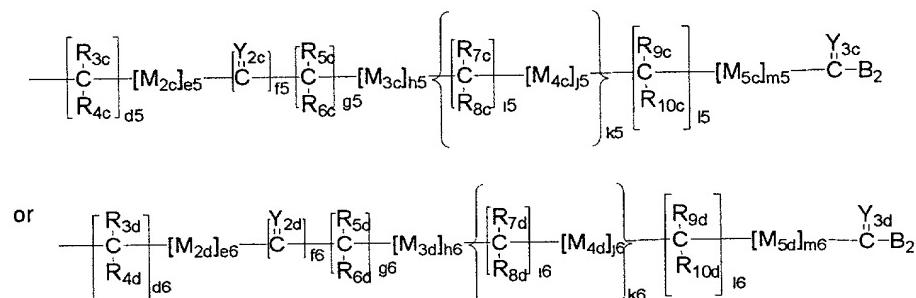


wherein E₆ is independently selected from the same group which defines

10 E₁₋₄;



wherein E_{1b-3b} are independently selected from the group consisting of hydrogen, C₁₋₆ alkyls, C₃₋₁₂ branched alkyls, C₃₋₈ cycloalkyls, C₁₋₆ substituted alkyls, C₃₋₈ substituted cycloalkyls, aryls, substituted aryls, aralkyls, C₁₋₆ heteroalkyls, substituted C₁₋₆ heteroalkyls, C₁₋₆ alkoxy, phenoxy, C₁₋₆ heteroalkoxy,



wherein B₂ is a leaving group, OH, a residue of a hydroxyl-containing moiety or a residue of an amine-containing moiety;

G is a polymeric residue;

Y₁₋₃, Y_{2a-d} and Y_{3a-d} are each independently O, S or NR_{11a}

M₁₋₄, M_{2a-2d}, M_{3a-3d}, and M_{4a-4d} are each independently O, S or NR_{11b};

M₅ and M_{5a-d} are each independently X or Q,

5 wherein X is an electron withdrawing group and Q is a moiety containing a free electron pair positioned three to six atoms from C(=Y₃) or C(=Y_{3a-d});

R₁₋₁₀, R_{1a-11a}, R_{1b-11b}, R_{1c-10c} and R_{1d-10d} are each independently selected from the group consisting of hydrogen, C₁₋₆ alkyls, C₃₋₁₂ branched alkyls, C₃₋₈ cycloalkyls, C₁₋₆ substituted alkyls, C₃₋₈ substituted cycloalkyls, aryls, substituted aryls, aralkyls, 10 C₁₋₆ heteroalkyls, substituted C₁₋₆ heteroalkyls, C₁₋₆ alkoxy, phenoxy and C₁₋₆ heteroalkoxy; and
a, b, c, d1-d6, e1-e6, f1-f6, g1-g6, h1-h6, i1-i6, j1-j6, k1-k6, l1-l6, m1-m6 are each independently zero or a positive integer.

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